

(12) UK Patent Application (19) GB (11) 2 111 384 A

(21) Application No 8123639  
(22) Date of filing 3 Aug 1981  
(43) Application published  
6 Jul 1983

(51) INT CL<sup>3</sup>  
A61K 31/015 31/335  
(52) Domestic classification  
A5B 180 190 301 30Y  
327 362 365 36Y 38Y  
39X 402 40Y H

(58) Field of search  
No search possible

(71) Applicants  
David Wynne,  
85 Brent Way,  
London,  
N3 1AR,  
Dr. Emil Denis Coufalik,  
16 Wentworth Avenue,  
London,  
N3

(72) Inventor  
Dr. Andrej Slovak

(74) Agent and/or address for  
service  
David Wynne,  
85 Brent Way,  
London,  
N3 1AR

(54) Improvement in preventative  
and curative medicine

(57) This invention deals with a  
homoeopathic type compound. The  
compound consists in whole or in part  
(dependant on the batch) of—  
Limonene, Limonin, Rutin, and Pinene,  
all of which are natural, i.e. bio-  
organic substances found in different  
higher plants of the botanical families  
(i) Rutaceae, Myrtaceae and  
Coniferae, also in Polygonaceae,  
Solanaceae, Oleaceae, Saxifragaceae,  
eg. All contain volatile oils (volatile  
essences), it also consists of ascorbic  
acid (vitamin C), linoleic acid, linolenic

acid (vitamin F), isopropyl alcohol  
bioflavonoids (vitamin P group, citrin).  
The compound was found to have  
useful

(ii) pharmacological properties in  
the treatment of Post irradiation  
disease, complications following  
chemotherapy, Biliary/liver damage,  
Lipoproteinaemias, Arteriosclerosis,  
Decompensated Diabetes with  
Ketoacidosis. Acts as cofactor in  
intermediary Metabolism of nutrients  
(and Acetylcoenzyme A), Lowers  
Pyruvate-Lactate in Blood, and  
generally aids the healing process

(iii) of the body by restoring the pH  
of body fluid and correcting impaired  
metabolic function.

GB 2 111 384 A

Certain of the chemical formulae appearing in the printed specification were submitted after the date of filing, the formulae originally submitted being incapable of being satisfactorily reproduced.

**SPECIFICATION****Improvement in preventative and curative medicine**

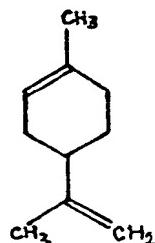
- This invention deals with a homoeopathic compound hereinafter to be known as Metamorf (Compound 561). The compound in this invention consists in whole or in part (dependent on the batch) of—Limonene, Limonin, Rutin and Pinene, all of which are natural, i.e. bio-organic substances found in different higher plants of the botanical families Rutaceae, Myrtaceae and Coniferae, also in Polygonaceae, Solanaceae, Oleaceae, Saxifragaceae, etc. All of these contain volatile oils (volatile essences) in their leaves, blossoms, fruits, peels, needles and barks; it also consists of ascorbic acid (vitamin C), linoleic acid, linolenic acid (vitamin F), isopropyl alcohol, bioflavonoids (vitamin P group, citrin).

**Botany**

- The main species of the family Rutaceae are the genus Citrus with the following species: Citrus aurantium amara L. (orange), Citrus aurantium tifolia vijapura Christm., Citrus acidula Christm. (lime), Citrus medica L. (acid lime), Citrus bergamia L. (Risso, lemon) C. grandis L. (grape fruit), C. decumana (Osbeck grapefruit), C. Lemon L. (lemon) C. medica var. limonum L. (lemon limonero); C. limonia L. (lemon); C. medica matulunga L. (citron), C. nobilis Lour, C. reticulata Blando (mandarin orange), C. sinesis L. (orange), Feronia limonia L. Phyllodendron amurense Rupr., Ruta graveolens L. Ruta montana Mill., Zanthoxylum americanum Mill., hermaphroditum schinifolium, Aegle marmelos L., Casimiroa edulis L., C. sapota, and others belonging to the families Myrtaceae L. and Coniferae L.

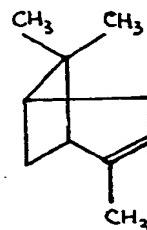
**Bio-organic chemistry**

- The volatile essences or volatile oils of Limonene, Limonin, Rutin and Pinene consist of mixtures of unsaturated alicyclic (ring) compounds called terpenes (or terpenoids) which have the empirical formula  $(C_5H_8)_n$  and oxidation products of these. These terpenes are built up of isoprene ( $C_5H_8$ ) units. These terpenes are used in other industries. The monoterpene Limonene  $C_{10}H_{16}$ , is synthetized by the citrus plant as d-Form, l-Form, and dl (racemic inactive) form or dipentene. It is a liquid of a pleasant lemon like odour, and of the structure formula

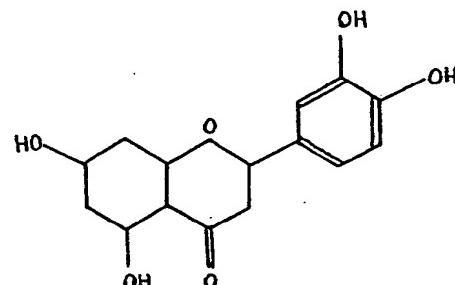


which reads as 1-methyl-4-(1-methyl-ethenyl)-cyclohexene.

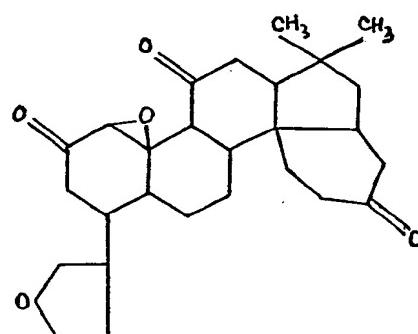
The Pinene, also a monoterpene  $C_{10}H_{16}$ , is naturally synthetized by the pine tree as d- $\alpha$ -pinene or l- $\alpha$ -pinene. It is a liquid of characteristic pine needle scent of turpentine. Its structure formula is



- which reads as 2,6,6-trimethyl-bycyclo 3.1.1-hept-2-ene.  
The Rutin (or Quercetin), a penta-hydroxy-flavone-rutinoside  $C_{27}H_{30}O_{16}$ , as hydroscopic anhydride forms needle crystals of pale yellow to brown colour. It is synthetized in the nature of many plants especially in buckwheat (Fagopyrum esculentum Moench, Polygonaceae). Its structure formula is



- Limonin or Limonoic acid, a triterpene of the Euphol type of the empirical formula  $C_{26}H_{30}O_8$ , is the bitter principle of lemons and other Rutaceae. It consists of two lactone rings, a  $\beta$ -substituted flavouring, a ketonic oxygen atom and two ethereal oxygen rings. Its bitter crystals dissolved in isopropanol. Its structure formula



which resembles the steroid structure, produced by plants whereas steroid hormones are produced by primates and man.

**Pharmacology**

The terpenes Limonene and Limonic are important ingredients in the food industry. A compound (Metamorf—compound 561) based on a mixture of these two substances has been found to have useful pharmacological properties. The British Pharmacopoeia 1968 accepts lemon peel as an expectorant, diuretic, antihistamine and anti-inflammatory agent. The Liquor ammoniae citricus is one of the oldest remedies used in cough mixtures.

The Metamorph Compound 561 acts as a buffer within the alkaline reserve of blood. It helps to stabilise the optimal pH of the blood by maintaining the acid/bas balance of the physiologic Buffer systems of blood. It shifts the metabolic or respiratory acidosis by assisting to decompose the lactic acid; or, the metabolic or respiratory alkalosis by stabilising the Na:K ratio in blood and in the cells in vivo.

It maintains the cell membrane by assisting the Na-pump and calcium ions, which penetrate the cell membrane, act as emulsifiers and maintain the surface activity. It acts as an inorganic trace element carrier for the intracellular enzymes containing Zn or Cu ions, and act so as enzyme activators by carrying the co-enzyme, i.e. the trace metal as catalyst. It influences the maintenance of the Zn:Cu:Cd, of the Ca:Mg, and Na:K by preserving their optimal biological ratio.

Terpenes are manufactured by the human liver where they are needed for the production of mevalonic acid. This acid is an intermediate affecting the intermediary metabolism of acetate and acetyl-CoA degradation. Limonene obviously mediates the condensation of the liver's own monoterpane derivative geranyl-pyrophosphate and acts as an mediator of its "head to tail" addition.

The optimal pH of blood in chronic respiratory and metabolic acidosis and alkalosis is particularly important for the maintenance of the homeostasis of the fluidity: coagulability of the circulating blood, the interstitial tissue fluids, and of the total internal environment as defined by Bernard and Cannon. This is of particular importance in the various chronic diseases and diseases of metabolic origin.

In homoeopathic doses it acts as a stimulator of gastric and duodenal secretion of mucin and affects beneficially ulcerations of these segments of the gastro intestinal tract, and aspirin induced stomach bleeding. It increases pancreatic enzyme secretion, and secretion of Pancreozymin, all of which have anti-inflammatory characteristics. It also acts as antihistamine, antiserotonin, and anti-bradykinin and so affects its analgesic action.

The auto-immunization process of the central nervous system results in demyelination when the lymphocytes fail to recognise the body's own proteins, and guest myelin, the compound initiates here an inflammatory response. The compound mediates the reversibility of the early demyelination and improves or slows down the

process in later stages of the multiple sclerosis patient.

Treatment also aids in the restoration of a proper cell function, following cell damage by improving the metabolic function. In this way the sick cell can be converted into a normal one.

**Summary**

Metamorf (Compound 561) was found to be acting as:—

- (i) A buffer and stabilizer within the alkaline reserve of blood.
- (ii) Influences the majority of enzymatic processes at the cellular and subcellular level, dependent on an optimal pH.
- (iii) It has a saponin-like action in the sense of an emulsifier acting on the cell membrane, one of which is the maintenance of the fluidity: coagulability of blood.
- (iv) It is a detoxifying agent mediating a correct performance of the intermediary metabolism of the liver, particularly that of the mevalonic acid.
- (v) It mediates the secretion of mucin by its local action on the mucosa of the upper part of the gastro-intestinal tract.
- (vi) Bradykinin triggers off the pain. The compound neutralizes this and/or influences its intensity, and shows it analgesic properties.
- (vii) Mediates the reversibility of the early demyelination and improves or slows down the process in later stages of the multiple sclerosis.

**Claims (Filed on 24 Aug 1982)**

1. A homeopathic type compound comprising entirely of terpenes in emulsions. All natural extracts of higher plants of the botanic families. 100 Ruteaceae. Myrtaceae. Coniferae. Polygonaceae. Solanaceae. Oleaceae. Saxifragaceae..etc. with no chemical additives. or All natural higher plant extracts Limonene. Limonin. Pinene. Ascorbic Acid. Natural Pure Cider Vinegar. Carotene. Ethyl Alcohol. Linoleic Acid. Linolenic Acid. Vehicle. Emulsifier. Citrus Acida Christmas (lime). Citrus Bergamia L. (Risso Lemon) Citrus Lemon L. (Lemon), or all natural higher plants extracts Limonene. Limonin. Pinene. Ascorbic Acid.
- 105 110 Carotene. Ethyl Alcohol. Linoleic Acid. Linolenic Acid. Vehicle. Emulsifier. Citrus Acida Christmas (Lime). Citrus Begamia L. (Risso Lemon). Citrus Lemon L. (Lemon). Citrus Medica Matulunga L. (Citron). Citrus Reticulata Blando. Ruta Agrestis
- 115 120 125 Graveolens L., Ruta Montana Mill., and all other Higher Plants dependent on the batch. These terpenes are built up of Isoprene ( $C_5H_8$ ) units.
2. A homeopathic type compound as claimed in claim 1. The main species of the family Rutaceae are the genus Citrus with the following species: Citrus aurantium amara L. (Orange) Citrus aurantium vijapura Christm. Citrus acida Christm. (Lime). Citrus medica L. (Acid Lime). Citrus bergamia L. (Risso, lemon). Grandis L. (Grapefruit). C. decumana (Osbeck grapefruit), C. Lemon L. (lemon) C. medica var. limonum L. (lemon limonero) C. limonia L. (lemon); C. medica

- matulunga L. (citron), C. nobilis Lour; C. reticulata Blando (mandarin orange), C. sinesis L. (orange); Feronia limonia L. Phyllodendron amurense Rupre., Ruta agrestis gravelens L. Ruta montana Mill., Zanthoxylum americanum Mill., hermaphoditum schinifolium, Aegle marmelos L., Casimiroa edulis L., sapota, and others belonging to the families Myrtaceae L. and Coniferae L.
3. As claimed in any preceding claim. The volatile essences or volatile oils of Limonene, Limonin, Rutin and Pinene consist of mixtures of unsaturated alicyclic (ring) compounds called terpenes (or terpenoids) which have the empirical formula  $(C_5H_8)_n$  and oxidation products of these.
- 10 These terpenes are built up of isoprene  $(C_5H_8)$  units. These terpenes are used in other industries. The monoterpane Limonene ( $C_{10}H_{16}$ ) is synthetized by the citrus plant as d-Form, l-Form, and dl (racemic inactive) form or dipentene. It is a liquid of a pleasant lemon like odour, and of the structure formula which reads as 1-methyl-4-(methyl-ethyl-ethyl)-cyclohexene. The Pinene, also a monoterpane  $C_{10}H_{16}$  is naturally synthetized by the pine tree as d- $\alpha$ -pinene or l- $\alpha$ -pinene. It is a liquid of characteristic pine needle scent of terpine, and of a structure formula which reads as 2,6,6,-trimethylbicyclo 3.1.1.-hept-2-ene. The Rutin (or Quercetin), a penta-hydroxy-flavone-rutinoside  $C_{27}H_{30}O_{16}$  as hygroscopic anhydride forms needle crystals of pale yellow to brown-colour. It is syntheetized in the nature of many plants especially in buckwheat (Fagopyrum esculentum Moench, Polygonaceae). Limonin or limonic acid a triterpene of the Euphol type of the empirical formula  $C_{28}H_{30}O_8$  is the bitter principle of lemons and other Rutaceae. It consists of two lactone rings, a  $\beta$ -sunstituted flavouring, a ketonic oxygen atom and two ethereal oxygen rings. Its bitter crystals dissolved in isopropanolol., and of a structured formula which reads, resembles the steroid structure, produced by plants whereas steroid hormones are produced by primates and man.
- 40 4. As claimed in any preceding claim. The terpenes Limonene and Limonin are important ingredients. A compound (Metamorf compound 561, "2" (561) "3" (561) based on a mixture of these two substances has been found to have useful pharmacological properties. The British Pharmacopoeia 1968 accepts lemon peel as an expectorant, diuretic, anti-histamine, and anti inflammatory agent. The Liquor ammoniae citricus is one of the oldest remedies used in cough mixtures. Terpenes are manufactured by the human liver where they are needed for the production of mevalonic acid. This acid is an intermediate affecting the intermediary metabolism of acetate and acetyl-CoA degradation. Limonene mediates the condensation of the liver's own monoterpane derivative geranyl-pyrophosphate, and acts as an mediator of its "head to tail" addition. It helps to stabilise the optimal pH of the blood by maintaining the acid/bas balance of the physiologic Buffer systems of blood. It shifts the metabolic or respiratory acidosis by assisting to decompose the lactic acid; or, the metabolic or respiratory alkalosis by stabilising the Na:K ratio in blood and in the cells in vivo. It maintains the cell membrane by assisting the Na-pump and calcium ions which penetrate the cell membrane, acts as emulsifiers and maintain the surface activity. It acts as an inorganic trace element carrier for the intracellular enzymes containing Zn or Cu ions, and act so as enzyme activators by carrying the co-enzyme, i.e. the trace metal as catalyst. It influences the maintenance of the Zn:Cu:Cd: of the Ca:Mg, and Na:K by preserving their optimal biological ratio.
- 50 5. As claimed in any preceding claim. The optimal pH of blood in chronic respiratory and metabolic acidosis and alkalosis is particularly important for the maintenance of the homeostasis of the fluidity: coagulability of the circulating blood, the interstitial tissue fluids, and of the total internal environment as defined by Bernard and Cannon. This is of particular importance in the various chronic diseases, and diseases of metabolic origin. In homoepathic type doses, the compounds acts as stimulators of gastric and duodenal secretion of mucin, and affects beneficially ulceration of these segments of the gastro intestinal tract, it does not irritate the mucosa of the gastro intestinal tract, and aspirin induced stomach bleeding is also beneficially affected. It increases pancreatic enzye secretion of Pancreozymin, all of which have anti-inflammatory characteristics. It also acts as anti-histamine, antiserotonin, and anti-bradykin and so affects its anagesic action. The auto-immunization process of the central nervous system results in demyelination when the lymphocytes fail to recognise the body's own proteins, and digest myelin, the compounds initiates here an anti inflammatory response. The compounds mediate the reversibility of the early demyelination and improves or slows down the process in later stages of multiple sclerosis patients. Treatment also aids in the restoration of a proper cell function, following cell damage by improving the metabolic function. In this way the sick cell can be converted into a normal one. The penetration of the oxygen into the damaged tissue is made possible. The effectiveness of the volatile essences. It aids the regeneration of the affected cartilage tissue by contributing to the synthesis of the glycoaminoglycans, and so improving the permeability of the cartilage, nutrion of collagen and its water content. It also aids the secretion of pancreaseozyme that acts as local anti-inflammatory agent.
- 60 6. As claimed in any previous claim. The Metamorf compounds 561, "2" (561) "3" (561) was found to be acting as:— A buffer and stabiliser within the alkaline reserve of blood. Influences the majority of enzymatic processes at the cellular and subcellular level, (enzyme activator) dependent on an optimal pH. It has a saponin-like action in the sense of an emulsifier
- 65 130 acting on the cell membrane, one of which is the

- maintenance of the fluidity: coagulability of blood. It is a detoxifying agent mediating a correct performance of the intermediary metabolism of the liver, particularly that of the mevalonic acid.
- 5 Influences the degradation of pyruvate into acetyl-co enzyme-A and the initiation of the citric-acid-cycle (Krebs cycle). It mediates the secretion of mucin by its local action on the mucosa of the upper part of the gastro-intestinal tract.
- 10 Bradykinin triggers off the pain. The compound neutralizes this and/or influences its intensity, and shows it analgesic properties. Mediates the reversibility of the early demyelination and improves or slows down the process in later stages of the multiple sclerosis. The formulation is such that it is impossible to overdose, and there are no known side effects. Taken orally undiluted before meals. No liquids for 30 minutes after taken.

Printed for Her Majesty's Stationery Office by the Courier Press, Leamington Spa, 1983. Published by the Patent Office,  
25 Southampton Buildings, London, WC2A 1AY, from which copies may be obtained

**THIS PAGE BLANK (USPTO)**